# Cloperastine hydrochloride

**Cat. No.:** HY-B2133

**CAS No.:** 14984-68-0

**Molecular Formula:** $C_{20}H_{25}Cl_2NO$

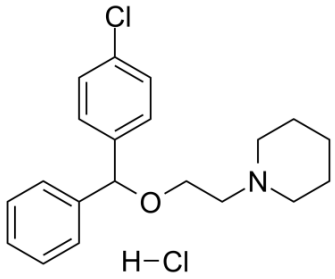
**Molecular Weight:** 366.32

**Target:** Potassium Channel

**Pathway:** Membrane Transporter/Ion Channel

**Storage:** 4°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 250 mg/mL (682.46 mM; Need ultrasonic)

$H_2O$ : 100 mg/mL (272.99 mM; Need ultrasonic)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.7299 mL | 13.6493 mL | 27.2985 mL |
| 5 mM | 0.5460 mL | 2.7299 mL | 5.4597 mL |
| 10 mM | 0.2730 mL | 1.3649 mL | 2.7299 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.68 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.68 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.68 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Cloperastine hydrochloride inhibits the hERG $K^+$ currents in a concentration-dependent manner with an $IC_{50}$ value of 27 nM [1].

**$IC_{50}$ & Target**

27 nM ($K^+$ currents)[1]

**In Vitro**

Cloperastine inhibits the hERG $K^+$ currents in a concentrationdependent manner with an $IC_{50}$ value of 27 nM[1].
Among the antitussive agents, Cloperastine, which possesses antitussive and antiedemic activity, also relaxes the bronchial musculature. Cloperastine is a drug with a central antitussive effect, and is also endowed with an antihistaminic and

| | |
|---|---|
| | papaverine-like activity similar to codeine but without its narcotic effects[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | In the anesthetized guinea pigs, Cloperastine at a therapeutic dose of 1 mg/kg prolonged the QT interval and monophasicaction potential (MAP) duration without affecting PR interval or QRS width[1].<br>Cloperastine hydrochloride shows relatively low acute toxicity when administered by the intraperitoneal route in rats and mice, and shows minor toxicity by the oral route when administered as Cloperastine fendizoate, the $LD_{50}$ in rats and mice for the two administration routes exceeds 1000 and 2000 mg/kg, respectively[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## REFERENCES


[1]. Takahara A, et al. Effects of the antitussive drug cloperastine on ventricular repolarization in halothane-anesthetized guinea pigs. J Pharmacol Sci. 2012;120(3):165-75.

[2]. Catania MA, et al. Pharmacological and clinical overview of cloperastine in treatment of cough. Ther Clin Risk Manag. 2011;7:83-92.





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